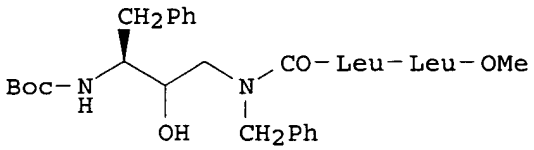

I

AB (hydroxyethyl)**ureas** R1NHCHR2CH(OH)CH2NR3CONHR4 [R1 is a group Q [H, alkyl, cycloalkyl or (hetero)aryl], R6O2C, R7R8NCO, where R6-R8 are selected from Q, provided that R1 is not bonded via a group CC(X) (X is O, S or N); R2, R3 = Q; NHR4 is peptidyl or R4 is selected from Q; non-hydrogen R1-R4, R6-R5 can be substituted by alkylamino, alkoxy, amino, halide, nitro, sulfate, sulfonamide, sulfoxide, or thiol ether] were prepared for use as inhibitors of certain aspartyl proteases, notably secretases involved in the enzymic cleavage of amyloid precursor protein (APP) to yield amyloid-β peptide. Methods are provided for administering the novel compds. to treat β-amyloid-associated diseases, notably Alzheimer's disease. Thus, (hydroxyethyl)**urea** I (Boc = tert-butoxycarbonyl) was prepared and showed IC50 = 0.5 μM for inhibition of β-amyloid protein production in APP751 plus neo-transfected CHO cells in vitro.

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INVENTOR(S): Hale, Michael R.; Baker, Christopher T.; Stammers, Timothy A.; Sherrill, Ronald G.; Spaltenstein, Andrew; Furfine, Eric S.; Maltais, Francois; Andrews, Clarence Webster, III; Miller, John F.; Samano, Vicente
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W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6319946	B1	20011120	US 2000-500781	20000209
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